

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
3 January 2003 (03.01.2003)

PCT

(10) International Publication Number
WO 2003/000295 A3

(51) International Patent Classification⁷: **A61K 47/44**,
9/10, 9/107, 31/4706, 31/44, 31/4196, 31/47, 31/015,
31/41, A61P 3/06

(74) Agents: **LUMB, J., Trevor et al.**; Pfizer Inc., 201 Tabor
Road, Morris Plains, NJ 07950 (US).

(21) International Application Number:
PCT/IB2002/001571

(22) International Filing Date: 3 May 2002 (03.05.2002)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/300,028 21 June 2001 (21.06.2001) US

(71) Applicant (for all designated States except US): **PFIZER
PRODUCTS INC.** [US/US]; Eastern Point Road, Groton,
CT 06340 (US).

(72) Inventors; and

(75) Inventors/Applicants (for US only): **GUMKOWSKI**,
Michael, Jon [US/US]; Pfizer Global Research and
Development, Eastern Point Road, Groton, CT 06340
(US). **MURDANDE**, Sharad, Balasaheb [IN/US]; Pfizer
Global Research and Development, Eastern Point Road,
Groton, CT 06340 (US). **PERLMAN**, Michael, Ellis
[US/US]; Pfizer Global Research and Development, East-
ern Point Road, Groton, CT 06340 (US). **LOMBARDO**,
Franco [US/US]; Pfizer Global Research and Develop-
ment, Eastern Point Road, Groton, CT 06340 (US).

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW,
MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG,
SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
VN, YU, ZA, ZM, ZW.

(84) Designated States (*regional*): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, CH, CY, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, TR), OAPI patent
(BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,
NE, SN, TD, TG).

Published:

— with international search report

(88) Date of publication of the international search report:
27 May 2004

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: SELF-EMULSIFYING FORMULATIONS OF CHOLESTERYL ESTER TRANSFER PROTEIN INHIBITORS

(57) Abstract: CETP Inhibitors have improved solubility and bioavailability in a lipophilic vehicle comprising a digestible oil, a lipophilic solvent, or a surfactant. Preferred such compositions are self-emulsifying or self-microemulsifying, and comprise 1. a CETP inhibitor; 2. a cosolvent; 3. a surfactant having an HLB of 1 to 8; 4. a surfactant having an HLB of over 8 to 20; and 5. optionally, a digestible oil.

WO 2003/000295 A3

INTERNATIONAL SEARCH REPORT

International Application No
PCT/IB 02/01571

A. CLASSIFICATION OF SUBJECT MATTER IPC 7 A61K47/44 A61K9/10 A61K9/107 A61K31/4706 A61K31/44 A61K31/4196 A61K31/47 A61K31/015 A61K31/41 A61P3/06		
According to International Patent Classification (IPC) or to both national classification and IPC		
B. FIELDS SEARCHED Minimum documentation searched (classification system followed by classification symbols) IPC 7 A61K A61P		
Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched		
Electronic data base consulted during the international search (name of data base and, where practical, search terms used) EPO-Internal, WPI Data, PAJ, CHEM ABS Data, BIOSIS, EMBASE		
C. DOCUMENTS CONSIDERED TO BE RELEVANT		
Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 00 18723 A (MISCHKE DEBORAH A ;MONSANTO CO (US); PARNAS BARRY L (US); DURLEY R) 6 April 2000 (2000-04-06) cited in the application the whole document page 65, line 11 - line 34	8-10
X	WO 99 14204 A (SEARLE & CO ;SIKORSKI JAMES A (US)) 25 March 1999 (1999-03-25) cited in the application the whole document page 83, line 9 -page 84, line 7 --- -/-	8-10
<input checked="" type="checkbox"/> Further documents are listed in the continuation of box C. <input checked="" type="checkbox"/> Patent family members are listed in annex.		
* Special categories of cited documents : "A" document defining the general state of the art which is not considered to be of particular relevance "E" earlier document but published on or after the international filing date "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified) "O" document referring to an oral disclosure, use, exhibition or other means "P" document published prior to the international filing date but later than the priority date claimed "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art "&" document member of the same patent family		
Date of the actual completion of the international search 3 February 2003		Date of mailing of the international search report 20/02/2003
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel (+31-70) 340-2040, Tx. 31 651 epo nl, Fax (+31-70) 340-3016		Authorized officer Economou, D

INTERNATIONAL SEARCH REPORT

International Application No
PCT/IB 02/01571

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 99 41237 A (CONNOLLY DANIEL T ;SCHILLING ROGER J (US); GLENN KEVIN C (US); LEE) 19 August 1999 (1999-08-19) cited in the application the whole document page 166, line 23 -page 167, line 20	8-10
Y	DE 197 41 399 A (BAYER AG) 25 March 1999 (1999-03-25) cited in the application the whole document	8-10
Y	EP 1 020 439 A (JAPAN TOBACCO INC) 19 July 2000 (2000-07-19) cited in the application the whole document	8-10
Y	WO 01 40190 A (APPLETON TROY ANTHONY ;PFIZER PROD INC (US); ALLEN DOUGLAS JOHN ME) 7 June 2001 (2001-06-07) cited in the application the whole document page 14, line 15 - line 22	8-10
Y	WO 00 17164 A (WESTER RONALD THURE ;PFIZER PROD INC (US); DENINNO MICHAEL PAUL (U) 30 March 2000 (2000-03-30) cited in the application the whole document page 78; examples 7,8	8-10
Y	EP 0 992 496 A (PFIZER PROD INC) 12 April 2000 (2000-04-12) cited in the application the whole document page 37; examples 7,8	8-10
Y	WO 00 17165 A (WESTER RONALD THURE ;PFIZER PROD INC (US); DENINNO MICHAEL PAUL (U) 30 March 2000 (2000-03-30) cited in the application the whole document page 79; examples 7,8	8-10
Y	US 5 993 858 A (CRISON JOHN R ET AL) 30 November 1999 (1999-11-30) cited in the application column 1, line 10 -column 4, line 55 column 5, line 1 - line 45 example 1 column 7, line 64 -column 8, line 4 claims 1-12	8-10

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

Continuation of Box I.2

Claims Nos.: 1-7; 8-15 (partially)

Present claims 1-7 relate to an extremely large number of possible compounds and products. Support within the meaning of Article 6 PCT and/or disclosure within the meaning of Article 5 PCT is to be found, however, for only a very small proportion of the compounds/products claimed. In the present case, the claims so lack support, and the application so lacks disclosure, that a meaningful search over the whole of the claimed scope is impossible. Consequently, the search has been carried out for those parts of the claims which appear to be supported and disclosed, namely those parts relating to the compositions comprising the compounds defined in claims 8-10 in combination with the surfactants mentioned in claims 5-6, since their definition by "high HLB" or "low HLB" is unclear.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

INTERNATIONAL SEARCH REPORT

International application No.
PCT/IB 02/01571

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:
2. ☒ Claims Nos.: 1-7; 8-15 (partially)
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
see FURTHER INFORMATION sheet PCT/ISA/210
3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this International application, as follows:

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. ☐ As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

- ☐ The additional search fees were accompanied by the applicant's protest.
- ☐ No protest accompanied the payment of additional search fees.

INTERNATIONAL SEARCH REPORT

International Application No

PCT/IB 02/01571

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0018723	A	06-04-2000	AU 6161099 A CA 2345108 A1 EP 1115694 A1 JP 2002525350 T WO 0018723 A1	17-04-2000 06-04-2000 18-07-2001 13-08-2002 06-04-2000
WO 9914204	A	25-03-1999	AU 9297798 A WO 9914204 A1	05-04-1999 25-03-1999
WO 9941237	A	19-08-1999	AU 3285499 A WO 9941237 A1	30-08-1999 19-08-1999
DE 19741399	A	25-03-1999	DE 19741399 A1 AU 9537098 A WO 9915504 A1 EP 1017677 A1 JP 2001517655 T US 2002165252 A1 US 6291477 B1 US 2002042515 A1	25-03-1999 12-04-1999 01-04-1999 12-07-2000 09-10-2001 07-11-2002 18-09-2001 11-04-2002
EP 1020439	A	19-07-2000	JP 2894445 B2 JP 11049743 A AU 728979 B2 AU 5781898 A BR 9807222 A EP 1020439 A1 HU 0002483 A2 NO 993869 A NZ 337122 A SK 109599 A3 US 6426365 B1 CN 1252053 T WO 9835937 A1 JP 3290962 B2 JP 11222428 A RU 2188631 C2 ZA 9801119 A TR 9901932 T2	24-05-1999 23-02-1999 25-01-2001 08-09-1998 23-05-2000 19-07-2000 28-05-2001 23-09-1999 23-02-2001 16-05-2000 30-07-2002 03-05-2000 20-08-1998 10-06-2002 17-08-1999 10-09-2002 24-08-1998 21-06-2000
WO 0140190	A	07-06-2001	AU 1048801 A BR 0015836 A EP 1246804 A1 WO 0140190 A1 NO 20022558 A TR 200201446 T2	12-06-2001 06-08-2002 09-10-2002 07-06-2001 29-05-2002 21-11-2002
WO 0017164	A	30-03-2000	AU 752566 B2 AU 5440199 A BG 105428 A BR 9913810 A CA 2344350 A1 CN 1318058 T CZ 20010955 A3 EE 200100166 A EP 1114031 A1 HR 20010201 A1 HU 0103506 A2	19-09-2002 10-04-2000 31-01-2002 19-06-2001 30-03-2000 17-10-2001 12-12-2001 17-06-2002 11-07-2001 30-04-2002 28-01-2002

INTERNATIONAL SEARCH REPORT

International Application No
PCT/IB 02/01571

Patent document cited in search report		Publication date	Patent family member(s)	Publication date
WO 0017164	A		WO 0017164 A1	30-03-2000
			JP 2002526475 T	20-08-2002
			NO 20011350 A	09-05-2001
			NZ 509840 A	26-11-2002
			PL 347099 A1	25-03-2002
			SK 3542001 A3	04-06-2002
			TR 200100768 T2	23-07-2001
			US 6197786 B1	06-03-2001
EP 0992496	A	12-04-2000	BR 9904162 A	12-09-2000
			EP 0992496 A1	12-04-2000
			JP 2000095764 A	04-04-2000
			US 6147089 A	14-11-2000
			US 6310075 B1	30-10-2001
WO 0017165	A	30-03-2000	AU 747715 B2	23-05-2002
			AU 5440399 A	10-04-2000
			BG 105429 A	31-12-2001
			BR 9913855 A	24-07-2001
			CA 2344248 A1	30-03-2000
			CN 1318057 T	17-10-2001
			CZ 20010954 A3	12-12-2001
			EE 200100167 A	17-06-2002
			EP 1114032 A1	11-07-2001
			HR 20010200 A1	30-04-2002
			HU 0103512 A2	28-02-2002
			WO 0017165 A1	30-03-2000
			JP 2002526476 T	20-08-2002
			NO 20011349 A	14-05-2001
			NZ 509837 A	26-11-2002
			PL 346830 A1	25-02-2002
			SK 3532001 A3	03-12-2001
			TR 200100780 T2	23-07-2001
			US 6140343 A	31-10-2000
			US 6489478 B1	03-12-2002
US 5993858	A	30-11-1999	NONE	